TRANSLATION PATENT COOPERATION TREATY POT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference								
0000055119	FOR FURTHER ACTION	See Form PCT/IPEA/416						
International application No.	International filing date (day/mo	onth/year) Priority date (day/month/year)						
PCT/EP2004/013615	01.12.2004	03.12.2003						
International Patent Classification (IPC) or na	tional classification and IPC							
C07D239/56, C07D239/64								
Applicant								
BASF Aktiengesellsch	aft							
		ished by this International Preliminary Examining Authority						
under Article 35 and transmitted to t This REPORT consists of a total of	•	o. heets, including this cover sheet.						
3. This report is also accompanied by A		nects, including this cover sheet.						
	d to the International Bureau) a tot	al of 7 sheets, as follows:						
`		ch have been amended and are the basis for this report and/or						
1 1 1 1	sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative							
		Authority considers contain an amendment that goes beyond						
Box.	the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.							
b. (sent to the International	Bureau only) a total of (indicate ty	ype and number of electronic carrier(s))						
		, containing a sequence listing and/or tables						
related thereto, in compute Section 802 of the Admini		I in the Supplemental Box Relating to Sequence Listing (see						
4. This report contains indications relat	ing to the following items:							
Box No. I Basis of th	e report							
Box No. II Priority								
Box No. III Non-estable	lishment of opinion with regard to	novelty, inventive step and industrial applicability						
Box No. IV Lack of un	ity of invention							
BOX 110. V	Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement							
Box No. VI Certain do								
Box No. VII Certain de	fects in the international application	n						
Box No. VIII Certain observations on the international application								
Date of submission of the demand	Date of co	ompletion of this report						
Name and mailing address of the IPEA/EP	Authorize	d officer						
Facsimile No.	Telephone	e No.						

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/EP2004/013615

Box	No. I	Basis of the report					
1.		h regard to the language, this report is based on the internation cated under this item.	onal application in the language in	which it was filed, unless otherwise			
		This report is based on translations from the original langua which is the language of a translation furnished for the pur		,			
		international search (Rule 12.3 and 23.1(b))					
		publication of the international application (Rule 12.4	4)				
		international preliminary examination (Rule 55.2 and	/or 55.3)				
2.	recei	With regard to the elements of the international application, this report is based on (replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to its report):					
		the international application as originally filed/furnished					
	$\overline{\boxtimes}$	the description:					
		pages 1-38		as originally filed/furnished			
		pages*					
		pages*	-				
	\boxtimes	the claims:	_ 10001100 07 1110 11011101117 011				
		nos.	-	as originally filed/furnished			
		nos.*		03.09.2005 with letter			
		nos.* <u>1-16</u>					
		nos.*	received by this Authority on				
	Ш	the drawings:					
		sheets		as originally filed/furnished			
		sheets*	received by this Authority on				
		sheets*	received by this Authority on				
		a sequence listing and/or any related table(s) - see Supplen	nental Box Relating to Sequence L	isting.			
3.	\boxtimes	The amendments have resulted in the cancellation of:					
		the description, pages					
		the claims, nos. 7					
		41-4		-			
		any table(s) related to sequence listing (specify):					
4.		This report has been established as if (some of) the amenda					
	Ш	they have been considered to go beyond the disclosure as f					
		the description, pages		<u> </u>			
		the claims, nos.		_			
		the drawings, sheets/figs					
		the sequence listing (specify):					
		any table(s) related to sequence listing (specify):					
*	If ite	em 4 applies, some or all of those sheets may be marked "sup	perseded."				

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Box				ticle 35(2) with regard to novelty, inventive step or industrial applicability; porting such statement	
1.	Statement				
	Novelty (1	N)	Claims	1-16	YES
			Claims		NO
	Inventive	step (IS)	Claims	1-16	YES
			Claims		NO
	Industrial	applicability (IA)	Claims	1-16	YES
			Claims		NO

2. Citations and explanations (Rule 70.7)

Reference is made to the following documents:

- D1: DE 197 41 411 A1 (NOVARTIS AG, BASEL, CH) 26
 March 1998
- D2: US-A-5 169 430 (STRUNK ET AL) 8 December 1992
- D3: EP-A-0 545 206 (BAYER AG) 9 June 1993
- D4: WO 03/097589 A1 (BASF AG, GERMANY) 27 November 2003
- D5: WO 03/024221 A1 (BASF AG) 27 March 2003
- D6: WO 01/83459 A (BASF AKTIENGESELLSCHAFT) 8
 November 2001
- D7: EP-A-0 831 091 (NOVARTIS AG) 25 March 1998
- D8: A. M. KAMAL EL-DEAN AND M.E.ABDEL-MONEAM:

 "synthesis of pyrimidines, thienopyrimidines and pyrazolopyrimidine" J. OF CHINESE CHEM.

 SOC, Vol. 49, 2002, pages 1057-1060,

 XP009046134

1. Novelty (PCT Article 33(2)):

The present application discloses a method for producing 3-phenyl(thio)uracils and 3-phenyldithiouracils by reacting a phenyliso(thio)cyanate II with an enamine III.

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

D1-D3, D7 and D8 also describe the reaction of an enamine with a phenyliso(thio)cyanate for producing 3-phenyliso(thio)uracils; however, the phenyliso(thio)cyanate compound does not contain the acylsulfonamide group.

In claims 16 and 17 in D4, 3-phenyl(thio)uracils and 3-phenyldithiouracils are produced by reacting a sulfamide acid amide with a 3-uracil benzoic acid derivative.

D5 and D6 describe a method for producing 3-phenyliso(thio)uracils and 3-phenyldithiouracils by substituting a halogen atom with a uracil-, thiouracil or dithiouracil group or by reacting an aniline compound with an oxazinone, followed by the alkylation of the 3-phenyluracil obtained.

The requirements of PCT Article 33(2) are therefore met.

2. Inventive step (PCT Article 33(3)):

The technical problem addressed in the present application can be considered that of developing an improved method for preparing 3-phenyl(thio)uracils and 3-phenyldithiouracils which achieves a high yield and high degree of purity and makes the desired product accessible in a simple and economic manner. D2 and D7 describe the production of 3-phenyluracils by reacting a phenylisocyanate with an enamine, though the phenylisocyanate compound carries a sulfonamide group instead of the acylsulfonamide group.

A person skilled in the art would therefore readily use the method known from D2 (D7) in producing the compounds as per the invention and would arrive in this way at the method as per claim 1, without thereby being inventive.

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Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

However, the applicant has shown in the letter of 30 August 2005 in a report of an experiment that the compounds as per the invention cannot be produced using the method disclosed in D7 (in which a catalytic amount of base is used) or in the method disclosed in D2 (equimolar amount of base used).

Whilst in D7 a catalytic amount of base is used, and in D2 an approximately equimolar amount of base, in the claimed method, a large excess of 1.8 to 2.6 base equivalent is used.

Neither D7 nor D2 suggests that the desired phenyluracils can be produced by an excess of base.

The subject matter of claim 1 can be deemed inventive, since it has been shown that the claimed method, in which a corresponding large excess of 1.8 to 2.6 base equivalent is used, leads surprisingly to the compounds as per the invention, in contrast to the methods from D2 or D7.

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Supplemental Box

In case the space in any of the preceding boxes is not sufficient. Continuation of: \boldsymbol{I}

In the letter of 30 August 2005, the applicant submitted an amended set of claims:

In claim 1, the feature "in the presence of 1.8 to 2.6 base equivalent per mole of the phenyliso(thio)cyanate of the formula II" was added.

The basis for this amendment can be found on page 17, line 21 of the original disclosure. This feature was presented as essential to the functioning of the invention, taking into consideration the technical problem it is intended to solve.

Claim 7 was deleted and the numbering and back references of the remaining claims amended accordingly.

The subject matter of the application does not go beyond the content of the application as originally filed (PCT Article 19(2) / PCT Article 34(2)(b)).